

WE CLAIM:

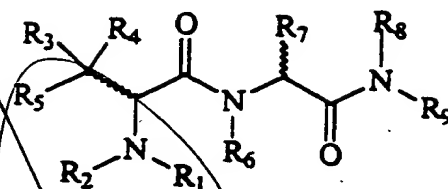
1. A compound or pharmaceutically acceptable salt thereof, having the formula

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wherein,

$R_1$  and  $R_2$  are independently selected from the group consisting of: H, R, and ArR-, and where at least one of  $R_1$  and  $R_2$  is R and neither are ArR-,  $R_1$  and  $R_2$  together may optionally be a three to seven member ring;

$R_3$  and  $R_4$  are independently selected from the group consisting of: H, R, ArR-, and where at least one of  $R_3$  and  $R_4$  is R and neither are ArR- or Ar,  $R_3$  and  $R_4$  together may optionally be a three to seven member ring;

$R_5$  is selected from the group consisting of: H, R, ArR-, and Ar;

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$R_6$  is selected from the group consisting of: H, R, and ArR-;

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$R_7$  and  $R_8$  are independently selected from the group consisting of: H, R, and  $ArR-$ ; and

$R_9$  is:  $Z-\overset{O}{\underset{||}{C}}-Y-$ ;

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and wherein,

R is defined as a saturated or unsaturated moiety having a linear, branched, or cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR<sub>10</sub>, -O<sub>2</sub>CR<sub>10</sub>, -SH, -SR<sub>10</sub>, -SOCR<sub>10</sub>, -NH<sub>2</sub>, -NHR<sub>10</sub>, -N(R<sub>10</sub>)<sub>2</sub>, -NHCOR<sub>10</sub>, -NR<sub>10</sub>COR<sub>10</sub>, -I, Br, -Cl, -F, -CN, -CO<sub>2</sub>H, -CO<sub>2</sub>R<sub>10</sub>, -CHO, -COR<sub>10</sub>, -CONH<sub>2</sub>, -CONHR<sub>10</sub>, -CON(R<sub>10</sub>)<sub>2</sub>, -COSH, -COSR<sub>10</sub>, -NO<sub>2</sub>, -SO<sub>3</sub>H, -SOR<sub>10</sub>, -SO<sub>2</sub>R<sub>10</sub>, wherein R<sub>10</sub> is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group;

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O<sub>2</sub>CR, -SH, -SR, -SOCR, -NH<sub>2</sub>, -NHR, -N(R)<sub>2</sub>, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO<sub>2</sub>H, -CO<sub>2</sub>R, -CHO, -COR, -CONH<sub>2</sub>, -CONHR, -CON(R)<sub>2</sub>, -COSH, -COSR, -NO<sub>2</sub>, -SO<sub>3</sub>H, -SOR, and -SO<sub>2</sub>R;

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Ar is defined as an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

Y is defined as a moiety selected from the group consisting of: a linear, saturated or unsaturated, one to six carbon alkyl group, optionally substituted with R,  $ArR-$ , or X; and,

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Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH<sub>2</sub>; -NHR; -N(R)<sub>2</sub>; -NHCH(R<sub>11</sub>)COOH; and -NRCH(R<sub>11</sub>)COOH, wherein R<sub>11</sub> is a moiety having the formula: R, or -(CH<sub>2</sub>)<sub>n</sub>NR<sub>12</sub>R<sub>13</sub>, wherein n=1-4 and  
5 R<sub>12</sub> and R<sub>13</sub> are independently selected from the group consisting of: H; R; and -C(NH)(NH<sub>2</sub>).

2. The compound of claim 1 wherein Ar is phenyl, naphthyl, anthracyl, or pyrrolyl.

10 3. The compound of claim 2 where R<sub>5</sub> is phenyl, naphthyl, anthracyl, or pyrrolyl.

15 4. The compound of claim 1, ~~2 or 3~~ wherein R<sub>3</sub> and R<sub>4</sub> are independently selected from the group consisting of: methyl, ethyl, n-propyl and n-butyl; or, R<sub>3</sub> and R<sub>4</sub> together are selected from the group consisting of: β-cyclopropyl, β-cyclobutyl, β-cyclopentyl and β-cyclohexyl.

20 5. The compound of <sup>Claim 1</sup> ~~any of claims 1-4~~ wherein R<sub>1</sub> and R<sub>2</sub> are independently selected from the group consisting of: H, methyl, ethyl, propyl, n-butyl, acetyl; or, R<sub>1</sub> and R<sub>2</sub> are joined and form a moiety selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl.

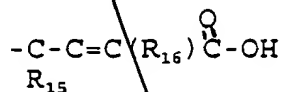
25 6. The compound of <sup>Claim 1</sup> ~~any of claims 1-4~~ wherein R<sub>1</sub> and R<sub>2</sub> are independently: H, CH<sub>3</sub> or acetyl.

30 7. The compound of <sup>Claim 1</sup> ~~any of claims 1-4~~ wherein R<sub>1</sub> is H, and R<sub>2</sub> is -CH<sub>3</sub>.

35 8. The compound of <sup>Claim 1</sup> ~~any of claims 1-7~~ wherein Z is: OH, -OCH<sub>3</sub>, -NHCH(R<sub>11</sub>)COOH, or, -NCH<sub>3</sub>CH(R<sub>11</sub>)COOH, wherein R<sub>11</sub> is R, or -(CH<sub>2</sub>)<sub>n</sub>NHC(NH)(NH<sub>2</sub>).

9. The compound of ~~any of claims 1-7~~ <sup>Claim 1</sup> wherein Z is OH or -OR<sub>14</sub>, wherein R<sub>14</sub> is a linear or branched one to six carbon alkyl group.

10. The compound of ~~any of claims 1-7~~ <sup>Claim 1</sup> wherein R<sub>9</sub> has the formula:



wherein R<sub>15</sub> is selected from the group consisting of: methyl, ethyl, n-propyl, isopropyl, tert-butyl, iso-butyl, and sec-butyl; and R<sub>16</sub> is selected from the group consisting of: H, methyl, ethyl, propyl, iso-propyl, n-butyl, iso-butyl and sec-butyl.

11. The compound of claim 10 wherein R<sub>15</sub> is isopropyl and R<sub>16</sub> is methyl.

12. The compound of ~~any of claims 1-11~~ <sup>Claim 1</sup> wherein R<sub>7</sub> is a three to six carbon, branched alkyl group.

13. The compound of ~~any of claims 1-12~~ <sup>Claim 1</sup> wherein R<sub>6</sub> and R<sub>8</sub> are independently: H, or CH<sub>3</sub>.

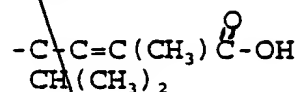
14. The compound of ~~any of claims 1-11~~ <sup>Claim 1</sup> wherein R<sub>6</sub> is H, R<sub>7</sub> is: -C(CH<sub>3</sub>)<sub>3</sub>, and R<sub>8</sub> is -CH<sub>3</sub>.

15. The compound of ~~any of claims 1-14~~ <sup>Claim 1</sup> wherein R<sub>3</sub> and R<sub>4</sub> are each R.

16. The compound of ~~any of claims 1-14~~ <sup>Claim 1</sup> wherein R<sub>3</sub> and R<sub>4</sub> are each -CH<sub>3</sub>.

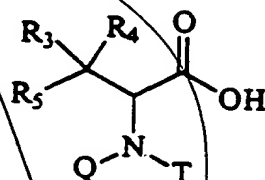
17. The compound of claim 16 wherein R<sub>5</sub> is phenyl.

18. The compound of claim 17 wherein  $R_9$  has the formula:



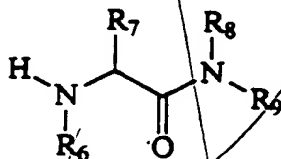
19. A method of preparing a compound as described in claim 1 comprising the step of:

(a) coupling an amino acid having the formula:



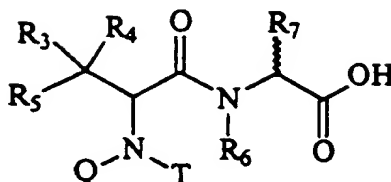
in which  $R_3 - R_5$  are as defined in claim 1 and Q and T are selected from the group consisting of:  $R_1$  and  $R_2$  as defined in claim 1, and a protecting group;

with a dipeptide having the formula:

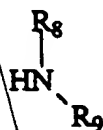


in which  $R_6 - R_9$  are as defined in claim 1;

and, where Q or T is a protecting group, the additional step of replacing the protecting group with  $R_1$  or  $R_2$  to form compound I; or,

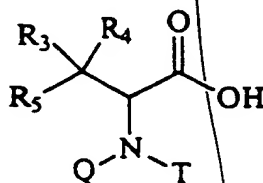


with an amino acid having the formula:



and, where Q or T is a protecting group, the additional step of replacing the protecting group with R<sub>1</sub> or R<sub>2</sub> to form compound I.

20. An amino acid suitable for use in the method of claim 19, having the formula:



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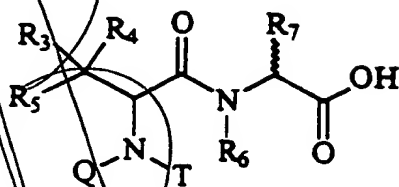
in which  $R_3$ ,  $R_5$ , Q and T are as defined in claim 19.

21. A dipeptide suitable for use in the method of claim 19, having the formula:

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in which  $R_3$ ,  $R_7$ , Q and T are as defined in claim 19.

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